

10/ 088,814

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptal202txn

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 SEP 09 CA/Capplus records now contain indexing from 1907 to the  
present  
NEWS 4 DEC 08 INPADOC: Legal Status data reloaded  
NEWS 5 SEP 29 DISSABS now available on STN  
NEWS 6 OCT 10 PCTFULL: Two new display fields added  
NEWS 7 OCT 21 BIOSIS file reloaded and enhanced  
NEWS 8 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced  
NEWS 9 NOV 24 MSDS-CCOHS file reloaded  
NEWS 10 DEC 08 CABA reloaded with left truncation  
NEWS 11 DEC 08 IMS file names changed  
NEWS 12 DEC 09 Experimental property data collected by CAS now available  
in REGISTRY  
NEWS 13 DEC 09 STN Entry Date available for display in REGISTRY and CA/Capplus  
NEWS 14 DEC 17 DGENE: Two new display fields added  
NEWS 15 DEC 18 BIOTECHNO no longer updated  
NEWS 16 DEC 19 CROPU no longer updated; subscriber discount no longer  
available  
NEWS 17 DEC 22 Additional INPI reactions and pre-1907 documents added to CAS  
databases  
NEWS 18 DEC 22 IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields  
NEWS 19 DEC 22 ABI-INFORM now available on STN  
NEWS 20 JAN 27 Source of Registration (SR) information in REGISTRY updated  
and searchable  
NEWS 21 JAN 27 A new search aid, the Company Name Thesaurus, available in  
CA/Capplus  
NEWS 22 FEB 05 German (DE) application and patent publication number format  
changes  
NEWS 23 MAR 03 MEDLINE and LMEDLINE reloaded  
NEWS 24 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
NEWS 25 MAR 03 FRANCEPAT now available on STN  
NEWS 26 MAR 29 Pharmaceutical Substances (PS) now available on STN  
NEWS 27 MAR 29 WPIFV now available on STN  
NEWS 28 MAR 29 No connect hour charges in WPIFV until May 1, 2004  
NEWS 29 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA  
  
NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 3 MARCH 2004  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that

10/ 088,814

specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 15:18:17 ON 07 APR 2004

=> file medline caplus PS  
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FULL ESTIMATED COST

FILE 'MEDLINE' ENTERED AT 15:18:47 ON 07 APR 2004

FILE 'CAPLUS' ENTERED AT 15:18:47 ON 07 APR 2004  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'PS' ENTERED AT 15:18:47 ON 07 APR 2004  
COPYRIGHT (C) 2004 Thieme on STN

=> s quinazolin? and (aurora with kinase?)  
L1 10 QUINAZOLIN? AND (AURORA WITH KINASE?)

=> d l1 1- ibib abs  
YOU HAVE REQUESTED DATA FROM 10 ANSWERS - CONTINUE? Y/(N):y

L1 ANSWER 1 OF 10 MEDLINE on STN  
ACCESSION NUMBER: 2003199692 MEDLINE  
DOCUMENT NUMBER: PubMed ID: 12719470  
TITLE: Aurora B couples chromosome alignment with anaphase by targeting BubR1, Mad2, and Cenp-E to kinetochores.  
AUTHOR: Ditchfield Claire; Johnson Victoria L; Tighe Anthony; Ellston Rebecca; Haworth Carolyn; Johnson Trevor; Mortlock Andrew; Keen Nicholas; Taylor Stephen S  
CORPORATE SOURCE: School of Biological Sciences, University of Manchester, 2.205 Stopford Building, Oxford Rd., Manchester M13 9PT, UK.  
SOURCE: Journal of cell biology, (2003 Apr 28) 161 (2) 267-80.  
Journal code: 0375356. ISSN: 0021-9525.  
PUB. COUNTRY: United States  
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)  
LANGUAGE: English  
FILE SEGMENT: Priority Journals  
ENTRY MONTH: 200306  
ENTRY DATE: Entered STN: 20030430  
Last Updated on STN: 20030620  
Entered Medline: 20030619

AB The Aurora/Ipl1 family of protein kinases plays multiple roles in mitosis and cytokinesis. Here, we describe ZM447439, a novel selective **Aurora kinase** inhibitor. Cells treated with ZM447439 progress through interphase, enter mitosis normally, and assemble bipolar spindles. However, chromosome alignment, segregation, and cytokinesis all fail. Despite the presence of maloriented chromosomes, ZM447439-treated cells exit mitosis with normal kinetics, indicating that the spindle checkpoint is compromised. Indeed, ZM447439 prevents mitotic arrest after exposure to paclitaxel. RNA interference experiments suggest that these

phenotypes are due to inhibition of Aurora B, not Aurora A or some other kinase. In the absence of Aurora B function, kinetochore localization of the spindle checkpoint components BubR1, Mad2, and Cenp-E is diminished. Furthermore, inhibition of **Aurora B kinase** activity prevents the rebinding of BubR1 to metaphase kinetochores after a reduction in centromeric tension. **Aurora B kinase** activity is also required for phosphorylation of BubR1 on entry into mitosis. Finally, we show that BubR1 is not only required for spindle checkpoint function, but is also required for chromosome alignment. Together, these results suggest that by targeting checkpoint proteins to kinetochores, Aurora B couples chromosome alignment with anaphase onset.

L1 ANSWER 2 OF 10 MEDLINE on STN  
 ACCESSION NUMBER: 2003142753 MEDLINE  
 DOCUMENT NUMBER: PubMed ID: 12657723  
 TITLE: Targeting aurora2 kinase in oncogenesis: a structural bioinformatics approach to target validation and rational drug design.  
 AUTHOR: Vankayalapati Hariprasad; Bearss David J; Saldanha Jose W; Munoz Ruben M; Rojanala Sangeeta; Von Hoff Daniel D; Mahadevan Daruka  
 CORPORATE SOURCE: Arizona Cancer Center, University of Arizona, Tucson, Arizona 85724, USA.  
 CONTRACT NUMBER: CA88310 (NCI)  
 SOURCE: CA95031 (NCI)  
 SOURCE: Molecular cancer therapeutics, (2003 Mar) 2 (3) 283-94. Journal code: 101132535. ISSN: 1535-7163.  
 PUB. COUNTRY: United States  
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)  
 LANGUAGE: English  
 FILE SEGMENT: Priority Journals  
 ENTRY MONTH: 200312  
 ENTRY DATE: Entered STN: 20030327  
 Last Updated on STN: 20031217  
 Entered Medline: 20031211

AB The **aurora kinases** are a novel oncogenic family of mitotic serine/threonine kinases (S/T kinases) that are overexpressed in a number of solid tumors, including pancreas and colorectal cancer. A PSI-BLAST search [National Center for Biotechnology Information (NCBI)] with the sequence of the S/T kinase domain of human aurora1 kinase [also known as AUR1, ARK2, AIK2, AIM-1, and STK12] and human aurora2 kinase (also known as AUR2, ARK1, AIK, BTAK, and STK15) showed a high sequence similarity to the three-dimensional structures of bovine cAMP-dependent kinase [Brookhaven Protein Data Bank code 1CDK], murine cAMP-dependent kinase (1APM), and *Caenorhabditis elegans* twitchin kinase (1KOA). When the aurora1 or aurora2 sequence was input into the tertiary structure prediction programs THREADER and 3D-PSSM (three-dimensional position-sensitive scoring matrix), the top structural matches were 1CDK, 1APM, and 1KOA, confirming that these domains are structurally conserved. The structural models of aurora1 and aurora2 were built using 1CDK as the template structure. Molecular dynamics and docking simulations, targeting the ATP binding site of aurora2 with adenylyl imidodiphosphate (AMP-PNP), staurosporine, and six small molecular S/T kinase inhibitors, identified active-site residues that interact with these inhibitors differentially. The docked structures of the aurora2-AMP-PNP and aurora2-staurosporine complexes indicated that the adenine ring of AMP-PNP and the indolocarbazole moiety of staurosporine have similar positions and orientations and provided the basis for the docking of the other S/T kinase inhibitors. Inhibitors with isoquinoline and **quinazoline** moieties were recognized by aurora2 in which H-89 and 6,7-dimethoxyquinazoline compounds exhibited high binding energies compared with that of staurosporine. The calculated binding energies for the docked small-molecule inhibitors were qualitatively consistent with the

IC(50) values generated using an in vitro kinase assay. The aurora2 structural model provides a rational basis for site-directed mutagenesis of the active site; design of novel H-89, staurosporine, and **quinazoline** analogues; and the screening of the available chemical database for the identification of other novel, small-molecular entities.

L1 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2003:532525 CAPLUS  
 DOCUMENT NUMBER: 139:101142  
 TITLE: Preparation of substituted **quinazoline** derivatives as inhibitors of **aurora kinases**  
 INVENTOR(S): Jung, Frederic Henri; Pasquet, Georges Rene  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCT Int. Appl., 175 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003055491	A1	20030710	WO 2002-GB5845	20021220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2001-403357 A 20011224  
 OTHER SOURCE(S): MARPAT 139:101142  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [X = O, SOO-2, amino, etc.; R1-4 = H, halo, CN, NO2, CF3, etc.; R5 = pyrazolyl] are prepared For instance, 4-chloro-6-methoxy-7-(3-(morpholinyl)propoxy)**quinazoline** is heated in the presence of Me (5-amino-1H-pyrazol-3-yl)acetate (pentan-2-ol, HCl, 120°, 2 h) to give Me [5-[(6-methoxy-7-(3-(morpholinyl)propoxy)**quinazolin**-4-yl)amino]-1H-pyrazol-3-yl]acetate. This intermediate is saponified and condensed with aniline to give II. I are inhibitors of **aurora kinase** [no data].

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2003:238990 CAPLUS  
 DOCUMENT NUMBER: 139:143501  
 TITLE: Targeting Aurora2 Kinase in Oncogenesis: A Structural Bioinformatics Approach to Target Validation and Rational Drug Design  
 AUTHOR(S): Vankayalapati, Hariprasad; Bearss, David J.; Saldanha, Jose W.; Munoz, Ruben M.; Rojanala, Sangeeta; Von

CORPORATE SOURCE: Hoff, Daniel D.; Mahadevan, Daruka  
Arizona Cancer Center, University of Arizona, Tucson,  
AZ, 85724, USA  
SOURCE: Molecular Cancer Therapeutics (2003), 2(3), 283-294  
CODEN: MCTOCF; ISSN: 1535-7163  
PUBLISHER: American Association for Cancer Research  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The **aurora kinases** are a novel oncogenic family of mitotic serine/threonine kinases (S/T kinases) that are overexpressed in a number of solid tumors, including pancreas and colorectal cancer. A PSI-BLAST search [National Center for Biotechnol. Information (NCBI)] with the sequence of the S/T kinase domain of human aurora1 kinase [also known as AUR1, ARK2, Aik2, AIM-1, and STK12] and human aurora2 kinase (also known as AUR2, ARK1, AIK, BTAK, and STK15) showed a high sequence similarity to the three-dimensional structures of bovine cAMP-dependent kinase [Brookhaven Protein Data Bank code 1CDK], murine cAMP-dependent kinase (1APM), and *Caenorhabditis elegans* twitchin kinase (1KOA). When the aurora1 or aurora2 sequence was input into the tertiary structure prediction programs THREADER and 3D-PSSM (three-dimensional position-sensitive scoring matrix), the top structural matches were 1CDK, 1APM, and 1KOA, confirming that these domains are structurally conserved. The structural models of aurora1 and aurora2 were built using 1CDK as the template structure. Mol. dynamics and docking simulations, targeting the ATP binding site of aurora2 with adenylyl imidodiphosphate (AMP-PNP), staurosporine, and six small mol. S/T kinase inhibitors, identified active-site residues that interact with these inhibitors differentially. The docked structures of the aurora2-AMP-PNP and aurora2-staurosporine complexes indicated that the adenine ring of AMP-PNP and the indolocarbazole moiety of staurosporine have similar positions and orientations and provided the basis for the docking of the other S/T kinase inhibitors. Inhibitors with isoquinoline and **quinazoline** moieties were recognized by aurora2 in which H-89 and 6,7-dimethoxyquinazoline compds. exhibited high binding energies compared with that of staurosporine. The calculated binding energies for the docked small-mol. inhibitors were qual. consistent with the IC50 values generated using an in vitro kinase assay. The aurora2 structural model provides a rational basis for site-directed mutagenesis of the active site; design of novel H-89, staurosporine, and **quinazoline** analogs; and the screening of the available chemical database for the identification of other novel, small-mol. entities.

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:220580 CAPLUS  
DOCUMENT NUMBER: 136:247606  
TITLE: Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes and Alzheimer's disease.  
INVENTOR(S): Davies, Robert; Bebbington, David; Binch, Haley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert  
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
SOURCE: PCT Int. Appl., 357 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 14  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
------------	------	------	-----------------	------

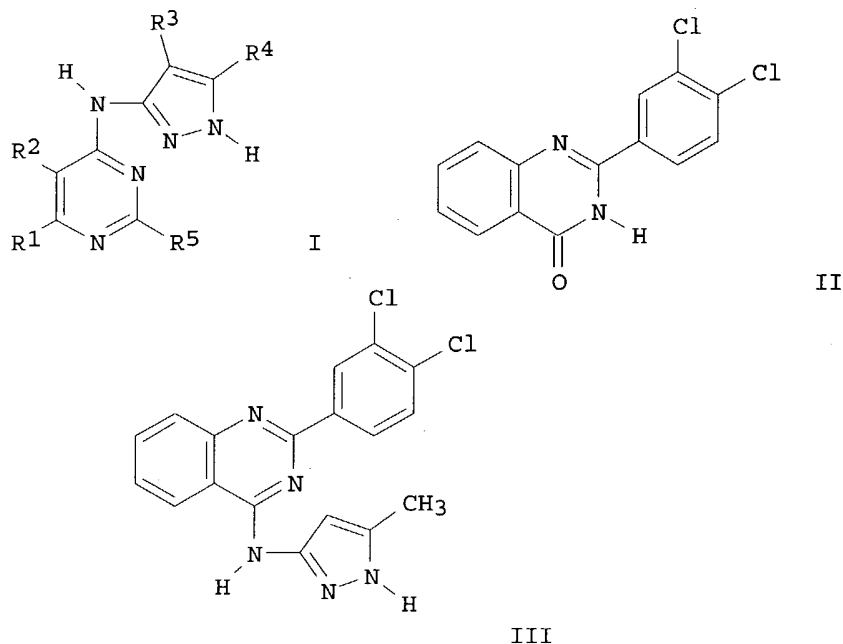
```

-----
WO 2002022604      A1      20020321      WO 2001-US28792      20010914
W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
    CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
    GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
    LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
    PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
    US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
    DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
    BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001094558      A5      20020326      AU 2001-94558      20010914
US 2003055044      A1      20030320      US 2001-953505      20010914
US 6638926          B2      20031028
US 2003064981      A1      20030403      US 2001-952836      20010914
US 6613776          B2      20030902
US 2003064982      A1      20030403      US 2001-952875      20010914
US 2003073687      A1      20030417      US 2001-952671      20010914
US 6660731          B2      20031209
US 2003078166      A1      20030424      US 2001-955601      20010914
US 6696452          B2      20040224
US 2003083327      A1      20030501      US 2001-952833      20010914
US 6610677          B2      20030826
EP 1317450          A1      20030611      EP 2001-975210      20010914
R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
    IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1345922          A1      20030924      EP 2001-271061      20011219
R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
    IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905          A1      20031029      EP 2001-273861      20011219
R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
    IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NO 2003001190      A      20030513      NO 2003-1190      20030314
NO 2003002704      A      20030821      NO 2003-2704      20030613
PRIORITY APPLN. INFO.:
                                US 2000-232795P      P      20000915
                                US 2000-257887P      P      20001221
                                US 2001-286949P      P      20010427
                                WO 2001-US28792      W      20010914
                                WO 2001-US49139      W      20011219
                                WO 2001-US50312      W      20011219

OTHER SOURCE(S):      MARPAT 136:247606
GI

```



AB The preparation of title compds. I and their pharmaceutically acceptable salts or prodrugs is described [wherein: R1, R2 = independently form (un)substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclic ring; R3, R4 = independently H, aliphatic, aryl, heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinazolinone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compds. I are inhibitors of GSK-3 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I inhibited the following kinases with Kis reported < 100 nM: GSK-3 $\beta$  (163 compds.), AURORA-2 (65 compds.), CDK-2 (no data), ERK2 (8 compds.), AKT (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:10468 CAPLUS

DOCUMENT NUMBER: 136:85826

TITLE: Preparation of substituted **quinazoline** derivatives and their use as inhibitors of **AURORA-2 kinase**

INVENTOR(S): Mortlock, Andrew; Jung, Frederic

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 249 pp.

CODEN: PIXXD2

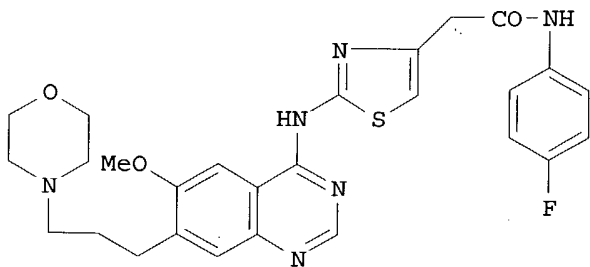
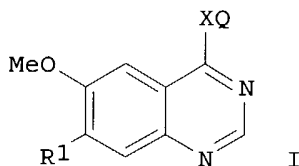
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000649	A1	20020103	WO 2001-SE1450	20010621
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1299381	A1	20030409	EP 2001-944061	20010621
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2001011754	A	20030429	BR 2001-11754	20010621
JP 2004501914	T2	20040122	JP 2002-505773	20010621
BG 107376	A	20030930	BG 2002-107376	20021211
NO 2002006010	A	20021213	NO 2002-6010	20021213
US 2003187002	A1	20031002	US 2002-311916	20021216
PRIORITY APPLN. INFO.:			EP 2000-401842	A 20000628
			WO 2001-SE1450	W 20010621
OTHER SOURCE(S):	MARPAT 136:85826			
GI				



AB The title compds. [I; X = O, S, S:O, SO<sub>2</sub>, NR; R = H, C1-6alkyl; R1 = OCH<sub>3</sub>, 3-(4-morpholinyl)propoxy, N-methylpiperidine-4-ylmethoxy, 3-(N-methylpiperazine-4-yl)propoxy, 3-(pyrrolidine-1-yl)propoxy, (CH<sub>3</sub>)<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>O, etc.; Q = (un)substituted 5-membered heteroarom.], pharmaceutically acceptable salts, in vivo hydrolysable esters, and amides are prepared as **AURORA-2 kinase inhibitors** in warm blooded animals. The title compds. together with pharmaceutical compns. containing them are also described and claimed. Thus, the title compound II was prepared and tested in vitro for the ability to arrest MCF7 cells in specific phases of the cell cycle.

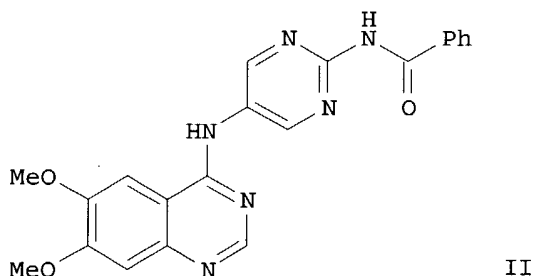
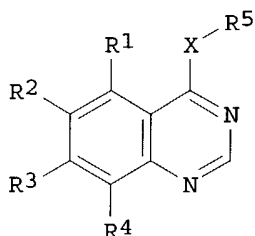


10/ 088,814

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2001:228867 CAPLUS  
DOCUMENT NUMBER: 134:266318  
TITLE: Preparation of **quinazolines** as **aurora 2 kinase** inhibitors  
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John  
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
SOURCE: PCT Int. Appl., 208 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021597	A1	20010329	WO 2000-GB3593	20000919
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014137	A	20020521	BR 2000-14137	20000919
EP 1218355	A1	20020703	EP 2000-960850	20000919
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509500	T2	20030311	JP 2001-524976	20000919
EE 200200118	A	20030415	EE 2002-118	20000919
AU 762697	B2	20030703	AU 2000-73019	20000919
BG 106526	A	20021031	BG 2002-106526	20020318
ZA 2002002232	A	20030619	ZA 2002-2232	20020319
NO 2002001400	A	20020506	NO 2002-1400	20020320
PRIORITY APPLN. INFO.:			GB 1999-22171	A 19990921
			WO 2000-GB3593	W 20000919
OTHER SOURCE(S):		MARPAT 134:266318		
GI				



AB Title compds. (I) [wherein X = O, S, SO, SO<sub>2</sub>, NH, or NR<sub>6</sub>; R<sub>6</sub> = H or alkyl; R<sub>5</sub> = (un)substituted 6-membered aromatic ring containing at least one N; R<sub>1</sub>-R<sub>4</sub> = independently halo, CN, NO<sub>2</sub>, alkylsulfanyl, N(OH)R<sub>7</sub>, or R<sub>9</sub>X<sub>1</sub>; R<sub>7</sub> = H or alkyl; X<sub>1</sub> = a direct bond, O, CH<sub>2</sub>, OC(O), CO, S, SO, SO<sub>2</sub>, or (un)substituted NHCO, CONH, SO<sub>2</sub>NH, NHSO<sub>2</sub>, or NH; R<sub>9</sub> = H or (un)substituted

hydrocarbyl, heterocyclyl, or alkoxy; and at least one of R2 or R3 is other than H; or a salt, ester, amide, or prodrug thereof] were prepared as **aurora 2 kinase** inhibitors for the treatment of proliferative diseases, such as cancer. For example, 2-(N-benzoylamino)-5-aminopyrimidine and 4-chloro-6,7-dimethoxyquinazoline were coupled in i-PrOH to yield II (58%). The latter inhibited the serine/threonine kinase activity of **aurora 2 kinase** by 50% at a concentration of 0.00785  $\mu\text{M}$ . In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.7  $\mu\text{M}$  and reduced BrdU incorporation into cellular DNA by 50% at 1.92-2.848  $\mu\text{M}$ .

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:228866 CAPLUS

DOCUMENT NUMBER: 134:266317

TITLE: Preparation of **quinazolines** as **aurora 2 kinase** inhibitors

INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John; Jung, Frederic Henri; Brewster, Andrew George

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 306 pp.

CODEN: PIXXD2

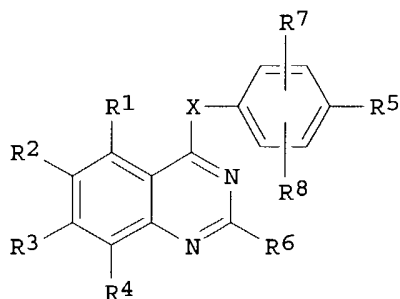
DOCUMENT TYPE: Patent

LANGUAGE: English

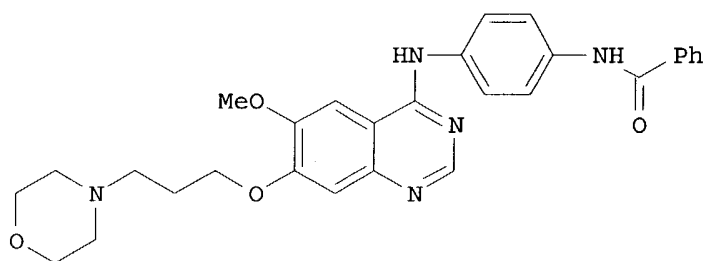
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021596	A1	20010329	WO 2000-GB3580	20000918
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
BR 2000014116	A	20020521	BR 2000-14116	20000918
EP 1218354	A1	20020703	EP 2000-960840	20000918
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2003509499	T2	20030311	JP 2001-524975	20000918
EE 200200119	A	20030415	EE 2002-119	20000918
BG 106492	A	20030131	BG 2002-106492	20020307
ZA 2002002234	A	20030619	ZA 2002-2234	20020319
NO 2002001399	A	20020430	NO 2002-1399	20020320
PRIORITY APPLN. INFO.:			GB 1999-22154	A 19990921
			GB 1999-22170	A 19990921
			WO 2000-GB3580	W 20000918
OTHER SOURCE(S):	MARPAT 134:266317			
GI				



I



II

AB Title compds. (I) [wherein X = O, S, SO, SO<sub>2</sub>, NH, or NR<sub>12</sub>; R<sub>12</sub> = H or alkyl; R<sub>1</sub>-R<sub>4</sub> = independently halo, CN, NO<sub>2</sub>, alkylsulfanyl, N(OH)R<sub>13</sub>, or R<sub>15</sub>X<sub>1</sub>; R<sub>13</sub> = H or alkyl; X<sub>1</sub> = a direct bond, O, CH<sub>2</sub>, OC(O), CO, CO<sub>2</sub>, S, SO, SO<sub>2</sub>, or (un)substituted NHCO, CONH, SO<sub>2</sub>NH, NHSO<sub>2</sub>, or NH; R<sub>15</sub> = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; R<sub>5</sub> = NHCO<sub>2</sub>R<sub>9</sub>, NHCOR<sub>9</sub>, NHSO<sub>2</sub>R<sub>9</sub>, COR<sub>9</sub>, CO<sub>2</sub>R<sub>9</sub>, SOR<sub>9</sub>, SO<sub>2</sub>OR<sub>9</sub>, CONR<sub>10</sub>R<sub>11</sub>, SONR<sub>10</sub>R<sub>11</sub>, or SO<sub>2</sub>NR<sub>10</sub>R<sub>11</sub>; R<sub>9</sub>-R<sub>11</sub> = independently H or (un)substituted hydrocarbyl or heterocyclyl; or R<sub>10</sub> and R<sub>11</sub> together with the N to which they are attached = (un)substituted heterocyclyl; R<sub>6</sub> = H or (un)substituted hydrocarbyl or heterocyclyl; R<sub>7</sub> and R<sub>8</sub> = independently H, halo, alkyl, (di)alkoxy(methyl), alkanoyl, CF<sub>3</sub>, CN, NHY<sub>2</sub>, alkenyl, alkynyl, or (un)substituted Ph, PhCH<sub>2</sub>, or heterocyclyl; or a salt, ester, or amide thereof] were prepared as **aurora 2 kinase** inhibitors for the treatment of proliferative diseases, such as cancer. For example, a 7-step sequence involving (1) alkylation of morpholine with 1-bromo-3-chloropropane (49%), (2) addition of Et vanillate to yield Et 3-methoxy-4-(3-morpholinopropoxy)benzoate (100%), (3) nitration (86%), (4) reduction to the amine using 10% Pd/C (100%), (5) cycloaddn. with formamide to form the **quinazoline** (68%), (6) chlorination to give 4-chloro-6-methoxy-7-(3-morpholinopropoxy)**quinazoline** (60%), and (7) amination with N-benzoyl-4-aminoaniline (58%) yielded II. The latter inhibited the serine/threonine kinase activity of **aurora 2 kinase** by 50% at a concentration of 0.0193 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.06 μM and reduced BrdU incorporation into cellular DNA by 50% at 0.159-0.209 μM.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:228865 CAPLUS

DOCUMENT NUMBER: 134:266316

TITLE: Preparation of **quinazoline** derivatives,  
method of preparation and use in inhibiting  
**aurora 2 kinase**

INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 83 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021595	A1	20010329	WO 2000-GB3562	20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014136	A	20020521	BR 2000-14136	20000918
EP 1218357	A1	20020703	EP 2000-962682	20000918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509498	T2	20030311	JP 2001-524974	20000918
EE 200200148	A	20030415	EE 2002-148	20000918
ZA 2002001831	A	20030605	ZA 2002-1831	20020305
NO 2002001395	A	20020515	NO 2002-1395	20020320
BG 106535	A	20021229	BG 2002-106535	20020320
PRIORITY APPLN. INFO.:			GB 1999-22173	A 19990921
			WO 2000-GB3562	W 20000918
OTHER SOURCE(S):		MARPAT 134:266316		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB I or a salt, ester, amide or prodrug thereof, a method for the preparation of I and the use of the claimed compds. for inhibiting **aurora 2 kinase** are claimed. These compds. are useful in the treatment of cancer. In I: X is O, or S, S(O) or S(O)<sub>2</sub> or NR<sub>10</sub> where R<sub>10</sub> is H or C<sub>1</sub>-6 alkyl. R<sub>5</sub> is OR<sub>11</sub>, NR<sub>12</sub>R<sub>13</sub> or SR<sub>11</sub> where R<sub>11</sub>, R<sub>12</sub> and R<sub>13</sub> are independently optionally substituted hydrocarbyl or optionally substituted heterocyclic groups, and R<sub>12</sub> and R<sub>13</sub> may addnl. form together with the N atom to which they are attached, an optionally substituted aromatic or nonarom. heterocyclic ring which may contain further heteroatoms. R<sub>6</sub> and R<sub>7</sub> are independently H or hydrocarbyl. R<sub>8</sub> and R<sub>9</sub> are independently H, halo, C<sub>1</sub>-4 alkyl, C<sub>1</sub>-4 alkoxy, C<sub>1</sub>-4 alkoxyethyl, di(C<sub>1</sub>-4 alkoxy)methyl, C<sub>1</sub>-4 alkanoyl, trifluoromethyl, cyano, amino, C<sub>2</sub>-5 alkenyl, C<sub>2</sub>-5 alkynyl, a Ph group, a benzyl group or a 5-6-membered heterocyclic group with 1-3 heteroatoms, selected independently from O, S and N, which heterocyclic group may be aromatic or nonarom. and may be saturated (linked via a ring C or N atom) or unsatd. (linked via a ring C atom), and which Ph, benzyl or heterocyclic group may bear on one or more ring C atoms up to 5 substituents selected from hydroxy, halo, C<sub>1</sub>-3 alkyl, C<sub>1</sub>-3 alkoxy, C<sub>1</sub>-3 alkanoyloxy, trifluoromethyl, cyano, amino, nitro, C<sub>2</sub>-4 alkanoyl, C<sub>1</sub>-4 alkanoylamino, C<sub>1</sub>-4 alkoxy-carbonyl, C<sub>1</sub>-4 alkylthio, C<sub>1</sub>-4 alkylsulfinyl, C<sub>1</sub>-4 alkylsulfonyl, carbamoyl, N-C<sub>1</sub>-4 alkylcarbamoyl, N,N-di(C<sub>1</sub>-4 alkyl)carbamoyl, aminosulfonyl, N-C<sub>1</sub>-4 alkylaminosulfonyl, N,N-di(C<sub>1</sub>-4 alkyl)aminosulfonyl, C<sub>1</sub>-4 alkylsulfonylamino, and a saturated heterocyclic group selected from morpholino, thiomorpholino, pyrrolidinyl, piperazinyl, piperidinyl imidazolidinyl and pyrazolidinyl, which saturated

heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halo, C1-3 alkyl, C1-3 alkoxy, C1-3 alkanoyloxy, trifluoromethyl, cyano, amino, nitro and C1-4alkoxycarbonyl. R1, R2, R3, R4 are independently halo, cyano, nitro, C1-3 alkylthio, -N(OH)R14 (R14 is H, or C1-3 alkyl), or R16X1- (X1 represents a direct bond, -O-, -CH2-, -OC(O)-, -C(O)-, -S-, -SO-, -SO2-, -NR17C(O)-, -C(O)NR18-, -SO2NR19-, -NR20SO2- or -NR21- (R17, R18, R19, R20 and R21 each independently represents H, C1-3 alkyl or C1-3alkoxyC2-3alkyl), and R16 is H, optionally substituted hydrocarbonyl, optionally substituted heterocyclyl or optionally substituted alkoxy). A method for preparing I comprises reacting II where X, R8 and R9 are as defined above, R1', R2', R3', R4' are groups R1, R2, R3, R4 as defined above resp., or precursors thereof; and R85 is a leaving group, with HCR6:CR7C(O)R5', where R6 and R7 are as defined above, R5' is a group R5 as defined above or a precursor group therefore; and thereafter if desired or necessary, converting any precursor groups R1', R2', R3', R4' or R5' to groups R1, R2, R3, R4 or R5 resp., or changing a group R5 to a different such group. The compds. of the invention inhibit the serine/threonine kinase activity of the **aurora 2 kinase** and thus inhibit the cell cycle and cell proliferation. Procedures for assessing these properties are described and test results are given for (E)-4-[4-(2-(3-methylcyclohexylaminocarbonyl)ethenyl)anilino]-6,7-dimethoxyquinazoline.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:228864 CAPLUS

DOCUMENT NUMBER: 134:252355

TITLE: Preparation of **quinazolines** as **aurora 2 kinase** inhibitors

INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

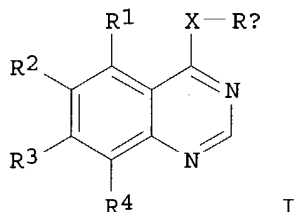
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021594	A1	20010329	WO 2000-GB3556	20000918
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014133	A	20020611	BR 2000-14133	20000918
EP 1218356	A1	20020703	EP 2000-962677	20000918
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509497	T2	20030311	JP 2001-524973	20000918
EE 200200149	A	20030415	EE 2002-149	20000918
AU 763242	B2	20030717	AU 2000-74325	20000918
ZA 2002001833	A	20030605	ZA 2002-1833	20020305
BG 106491	A	20021229	BG 2002-106491	20020307
NO 2002001401	A	20020521	NO 2002-1401	20020320
PRIORITY APPLN. INFO.:				
			GB 1999-22152	A 19990921
			GB 1999-22156	A 19990921

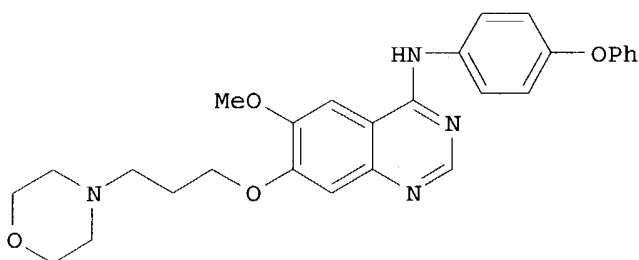
10/ 088,814

GB 1999-22159 A 19990921  
WO 2000-GB3556 W 20000918

OTHER SOURCE(S) : MARPAT 134:252355  
GI



I



II

AB Title compds. (I) [wherein X = O, S, SO, SO<sub>2</sub>, NH, or NR<sub>8</sub>; R<sub>8</sub> = H or alkyl; Ra = (un)substituted 3-quinolinyl or Ph; R<sub>1</sub>-R<sub>4</sub> = independently halo, CN, NO<sub>2</sub>, alkylsulfanyl, N(OH)R<sub>12</sub>, or R<sub>14</sub>X<sub>1</sub>; R<sub>12</sub> = H or alkyl; X<sub>1</sub> = a direct bond, O, CH<sub>2</sub>, OC(O), CO, S, SO, SO<sub>2</sub>, or (un)substituted NHCO, CONH, SO<sub>2</sub>NH, NHSO<sub>2</sub>, or NH; R<sub>14</sub> = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; or a salt, ester, or amide thereof] were prepared as **aurora 2 kinase** inhibitors for the treatment of proliferative diseases, such as cancer. For example, 4-phenoxyaniline•HCl and 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline were refluxed in i-PrOH to yield II (86%). The latter inhibited the serine/threonine kinase activity of **aurora 2 kinase** by 50% at a concentration of 0.069 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 2.89 μM and reduced BrdU incorporation into cellular DNA by 50% at 3.68 μM.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 15:18:17 ON 07 APR 2004)

FILE 'MEDLINE, CAPLUS, PS' ENTERED AT 15:18:47 ON 07 APR 2004

L1 10 S QUINAZOLIN? AND (AURORA WITH KINASE?)

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
27.76	27.97

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-5.54	-5.54

CA SUBSCRIBER PRICE

10/ 088,814

STN INTERNATIONAL LOGOFF AT 15:20:11 ON 07 APR 2004

10/ 088,814

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1202txn

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 SEP 09 CA/CAPLUS records now contain indexing from 1907 to the  
present  
NEWS 4 DEC 08 INPADOC: Legal Status data reloaded  
NEWS 5 SEP 29 DISSABS now available on STN  
NEWS 6 OCT 10 PCTFULL: Two new display fields added  
NEWS 7 OCT 21 BIOSIS file reloaded and enhanced  
NEWS 8 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced  
NEWS 9 NOV 24 MSDS-CCOHS file reloaded  
NEWS 10 DEC 08 CABA reloaded with left truncation  
NEWS 11 DEC 08 IMS file names changed  
NEWS 12 DEC 09 Experimental property data collected by CAS now available  
in REGISTRY  
NEWS 13 DEC 09 STN Entry Date available for display in REGISTRY and CA/CAPLUS  
NEWS 14 DEC 17 DGENE: Two new display fields added  
NEWS 15 DEC 18 BIOTECHNO no longer updated  
NEWS 16 DEC 19 CROPU no longer updated; subscriber discount no longer  
available  
NEWS 17 DEC 22 Additional INPI reactions and pre-1907 documents added to CAS  
databases  
NEWS 18 DEC 22 IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields  
NEWS 19 DEC 22 ABI-INFORM now available on STN  
NEWS 20 JAN 27 Source of Registration (SR) information in REGISTRY updated  
and searchable  
NEWS 21 JAN 27 A new search aid, the Company Name Thesaurus, available in  
CA/CAPLUS  
NEWS 22 FEB 05 German (DE) application and patent publication number format  
changes  
NEWS 23 MAR 03 MEDLINE and LMEADLINE reloaded  
NEWS 24 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
NEWS 25 MAR 03 FRANCEPAT now available on STN  
NEWS 26 MAR 29 Pharmaceutical Substances (PS) now available on STN  
NEWS 27 MAR 29 WPIFV now available on STN  
NEWS 28 MAR 29 No connect hour charges in WPIFV until May 1, 2004  
NEWS 29 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA  
  
NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 3 MARCH 2004  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that



10/ 088,814

specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 13:47:47 ON 07 APR 2004

=> file medline caplus

COST IN U.S. DOLLARS

SINCE FILE

ENTRY

TOTAL

SESSION

FULL ESTIMATED COST

0.42

0.42

FILE 'MEDLINE' ENTERED AT 13:49:01 ON 07 APR 2004

FILE 'CAPLUS' ENTERED AT 13:49:01 ON 07 APR 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

=> s mortlock/in

'IN' IS NOT A VALID FIELD CODE

L1 0 MORTLOCK/IN

=> s mortlock/inv

'INV' IS NOT A VALID FIELD CODE

'INV' IS NOT A VALID FIELD CODE

L2 0 MORTLOCK/INV

=> e mort

E1 1 MORSZTYN/BI

E2 2 MORSZYN/BI

E3 1424 --> MORT/BI

E4 459 MORT1/BI

E5 9 MORTA/BI

E6 1 MORTA4/BI

E7 1 MORTA4S/BI

E8 1 MORTAALAMPUTATIE/BI

E9 1 MORTABILITIES/BI

E10 6 MORTABILITY/BI

E11 1 MORTABITIES/BI

E12 1 MORTABITY/BI

=> s mortlock/au

L3 0 MORTLOCK/AU

=> e mort/au

E1 1 MORSZECK THOMAS/AU

E2 1 MORSZTYNOVA T/AU

E3 0 --> MORT/AU

E4 7 MORT A/AU

E5 33 MORT A J/AU

E6 2 MORT ALUN J/AU

E7 7 MORT ANDREW/AU

E8 42 MORT ANDREW J/AU

E9 2 MORT ANDREW JAMES/AU

E10 1 MORT ANTHONY/AU

E11 1 MORT B/AU

E12 1 MORT BONTEMPS C/AU

10/ 088,814

=> e mortlock/au

E1	1	MORTLEY Q/AU
E2	1	MORTLEY W S/AU
E3	0	--> MORTLOCK/AU
E4	1	MORTLOCK A/AU
E5	1	MORTLOCK A A/AU
E6	2	MORTLOCK A E/AU
E7	19	MORTLOCK A J/AU
E8	1	MORTLOCK A M/AU
E9	4	MORTLOCK ALISON/AU
E10	1	MORTLOCK ALISON MARY/AU
E11	6	MORTLOCK ALLAN J/AU
E12	6	MORTLOCK ANDREW/AU

=> s E4-E12

L4 41 ("MORTLOCK A"/AU OR "MORTLOCK A A"/AU OR "MORTLOCK A E"/AU OR  
"MORTLOCK A J"/AU OR "MORTLOCK A M"/AU OR "MORTLOCK ALISON"/AU  
OR "MORTLOCK ALISON MARY"/AU OR "MORTLOCK ALLAN J"/AU OR "MORTLO  
CK ANDREW"/AU)

=> d l4 1- ibib abs

YOU HAVE REQUESTED DATA FROM 41 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 41 MEDLINE on STN

ACCESSION NUMBER: 2003548844 IN-PROCESS

DOCUMENT NUMBER: PubMed ID: 14627842

TITLE: Suppression of gene expression by a cell-permeable Tet  
repressor.

AUTHOR: **Mortlock Alison**; Low Walter; Crisanti Andrea

CORPORATE SOURCE: Biogeny PLC and Department of Biology and Biochemistry, SAF  
Building, Imperial College, London SW7 2AZ, UK.

SOURCE: Nucleic acids research, (2003 Dec 1) 31 (23) e152.  
Journal code: 0411011. ISSN: 1362-4962.

PUB. COUNTRY: England: United Kingdom

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: IN-PROCESS; NONINDEXED; Priority Journals

ENTRY DATE: Entered STN: 20031121

Last Updated on STN: 20031219

AB Engineered transcription factors designed to selectively activate or  
repress endogenous genes have great potential in medical and  
biotechnological applications. Ultimately, their success will depend on  
the development of efficient delivery systems. We show here that a  
chimeric tetracycline- controlled transcription factor, encompassing the  
Tet repressor (TetR) from the tetracycline-resistance operon (tet from  
Escherichia coli transposon Tn10) and a cell membrane transducing peptide,  
is able to regulate transcription from a tetracycline responsive promoter  
(pCMV2xtetO2). When added directly to cultured cells, TetR fused to the  
full-length Antennapedia homeodomain (AntpHD) from Drosophila (TetRAntp),  
was able to selectively repress transcription in cells transiently  
transfected with a tetracycline-regulated reporter transcription unit.  
Moreover, TetRAntp could repress expression of a tetracycline responsive  
reporter transcription unit stably integrated into the genome of HeLa  
cells, demonstrating the possibility of manipulating endogenous gene  
expression by cell-permeable transcription factors.

L4 ANSWER 2 OF 41 MEDLINE on STN

ACCESSION NUMBER: 2003503869 IN-PROCESS

DOCUMENT NUMBER: PubMed ID: 14580793

TITLE: A recombinant H1 histone-based system for efficient  
delivery of nucleic acids.

AUTHOR: Puebla Iratxe; Essegir Selma; **Mortlock Alison**;

10/ 088,814

Brown Anthony; Crisanti Andrea; Low Walter  
CORPORATE SOURCE: Biogeny PLC, SAF Building, Imperial College London,  
Imperial College Road, SW7 2AZ London, UK.  
SOURCE: Journal of biotechnology, (2003 Nov 6) 105 (3) 215-26.  
Journal code: 8411927. ISSN: 0168-1656.  
PUB. COUNTRY: Netherlands  
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)  
LANGUAGE: English  
FILE SEGMENT: IN-PROCESS; NONINDEXED; Priority Journals  
ENTRY DATE: Entered STN: 20031029  
Last Updated on STN: 20031219

AB We describe here a unique transfer system based on a truncated form of the human linker histone H1F4 for the delivery of nucleic acids to a variety of cells. The efficiency of truncated histone H1.4F was assessed using both primary mammalian and immortalised insect and mammalian cell lines. Our results indicated that recombinant histone H1.4F was able to deliver DNA, dsRNA and siRNA in all cells tested. Quantitative analysis based on reporter gene expression or silencing of target genes revealed that the transfection efficiency of histone H1.4F was comparable to, or better than, liposome-based systems. Notably, the efficiency of histone H1.4F was associated with very low toxicity for transfected cells. The human H1.4F recombinant protein is easily purified in large-scale from bacterial lysates using inexpensive simplified processing. This versatile transfection system represents an important advance in the field of gene delivery and an improvement over earlier nucleic acid delivery methods.

L4 ANSWER 3 OF 41 MEDLINE on STN  
ACCESSION NUMBER: 2003199692 MEDLINE  
DOCUMENT NUMBER: PubMed ID: 12719470  
TITLE: Aurora B couples chromosome alignment with anaphase by  
targeting BubR1, Mad2, and Cenp-E to kinetochores.  
AUTHOR: Ditchfield Claire; Johnson Victoria L; Tighe Anthony;  
Ellston Rebecca; Haworth Carolyn; Johnson Trevor;  
**Mortlock Andrew**; Keen Nicholas; Taylor Stephen S  
CORPORATE SOURCE: School of Biological Sciences, University of Manchester,  
2.205 Stopford Building, Oxford Rd., Manchester M13 9PT,  
UK.  
SOURCE: Journal of cell biology, (2003 Apr 28) 161 (2) 267-80.  
Journal code: 0375356. ISSN: 0021-9525.  
PUB. COUNTRY: United States  
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)  
LANGUAGE: English  
FILE SEGMENT: Priority Journals  
ENTRY MONTH: 200306  
ENTRY DATE: Entered STN: 20030430  
Last Updated on STN: 20030620  
Entered Medline: 20030619

AB The Aurora/Ipl1 family of protein kinases plays multiple roles in mitosis and cytokinesis. Here, we describe ZM447439, a novel selective Aurora kinase inhibitor. Cells treated with ZM447439 progress through interphase, enter mitosis normally, and assemble bipolar spindles. However, chromosome alignment, segregation, and cytokinesis all fail. Despite the presence of maloriented chromosomes, ZM447439-treated cells exit mitosis with normal kinetics, indicating that the spindle checkpoint is compromised. Indeed, ZM447439 prevents mitotic arrest after exposure to paclitaxel. RNA interference experiments suggest that these phenotypes are due to inhibition of Aurora B, not Aurora A or some other kinase. In the absence of Aurora B function, kinetochore localization of the spindle checkpoint components BubR1, Mad2, and Cenp-E is diminished. Furthermore, inhibition of Aurora B kinase activity prevents the rebinding of BubR1 to metaphase kinetochores after a reduction in centromeric tension. Aurora B kinase activity is also required for phosphorylation of BubR1 on entry into mitosis. Finally, we show that BubR1 is not only required for

spindle checkpoint function, but is also required for chromosome alignment. Together, these results suggest that by targeting checkpoint proteins to kinetochores, Aurora B couples chromosome alignment with anaphase onset.

L4 ANSWER 4 OF 41 MEDLINE on STN  
 ACCESSION NUMBER: 97236962 MEDLINE  
 DOCUMENT NUMBER: PubMed ID: 9083490  
 TITLE: New non-peptide endothelin-A receptor antagonists: synthesis, biological properties, and structure-activity relationships of 5-(dimethylamino)-N-pyridyl-, -N-pyrimidinyl-, -N-pyridazinyl-, and -N-pyrazinyl-1-naphthalenesulfonamides.  
 AUTHOR: Bradbury R H; Bath C; Butlin R J; Dennis M; Heys C; Hunt S J; James R; **Mortlock A A**; Sumner N F; Tang E K; Telford B; Whiting E; Wilson C  
 CORPORATE SOURCE: Cardiovascular and Musculoskeletal Department, ZENECA Pharmaceuticals, Macclesfield, Cheshire, U.K.  
 SOURCE: Journal of medicinal chemistry, (1997 Mar 14) 40 (6) 996-1004.  
 Journal code: 9716531. ISSN: 0022-2623.  
 PUB. COUNTRY: United States  
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)  
 LANGUAGE: English  
 FILE SEGMENT: Priority Journals  
 ENTRY MONTH: 199705  
 ENTRY DATE: Entered STN: 19970507  
 Last Updated on STN: 19970507  
 Entered Medline: 19970501

AB Use of automated synthesis led to the discovery of several 6-membered nitrogen heterocycles as replacements for the N-isoxazolyl substituent present in the 1-naphthalenesulfonamides endothelin-A (ETA) antagonist 5-(dimethylamino)-N-(3,4-dimethyl-5-isoxazolyl)-1-naphthalenesulfonamides (BMS 182874). In each of these heterocycles, a small substituent such as halogen para to the position of attachment to the sulfonamide nitrogen atom was found to be advantageous for ETA receptor affinity. Of these heterocycles, 2-pyrazines offered the greatest scope for improving receptor affinity. Optimization of the substituents at the 3- and 5-positions in the pyrazine ring led to potent, ETA-selective compounds such as 5-(dimethylamino)-N-(5-chloro-3-methoxy-2-pyrazinyl)-1-naphthalenesulfonamides (7m, ETA pIC<sub>50</sub> 8.1). When dosed orally at 10 mg/kg to conscious, normotensive rats infused with big ET-1, compounds such as 7m showed significant inhibition of the pressor response with a duration of effect lasting for the 5-h course of the experiment.

L4 ANSWER 5 OF 41 MEDLINE on STN  
 ACCESSION NUMBER: 94099011 MEDLINE  
 DOCUMENT NUMBER: PubMed ID: 8273478  
 TITLE: Interactive software for setting cochlear implants in children.  
 AUTHOR: Allum D J; **Mortlock A**  
 CORPORATE SOURCE: Cavale International, Basel, Switzerland.  
 SOURCE: Advances in oto-rhino-laryngology, (1993) 48 191-8.  
 Journal code: 0242534. ISSN: 0065-3071.  
 PUB. COUNTRY: Switzerland  
 DOCUMENT TYPE: (CLINICAL TRIAL)  
 Journal; Article; (JOURNAL ARTICLE)  
 (MULTICENTER STUDY)  
 (RANDOMIZED CONTROLLED TRIAL)  
 LANGUAGE: English  
 FILE SEGMENT: Priority Journals  
 ENTRY MONTH: 199402  
 ENTRY DATE: Entered STN: 19940215

10/ 088,814

Last Updated on STN: 19980206

Entered Medline: 19940203

L4 ANSWER 6 OF 41 MEDLINE on STN  
ACCESSION NUMBER: 74000037 MEDLINE  
DOCUMENT NUMBER: PubMed ID: 4733225  
TITLE: The determination of Di-n-alkyl phthalates in cosmetic preparations by gas-liquid chromatography.  
AUTHOR: Godly E W; **Mortlock A E**  
SOURCE: Analyst, (1973 Jul) 98 (168) 493-501.  
Journal code: 0372652. ISSN: 0003-2654.  
PUB. COUNTRY: ENGLAND: United Kingdom  
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)  
LANGUAGE: English  
FILE SEGMENT: Priority Journals  
ENTRY MONTH: 197311  
ENTRY DATE: Entered STN: 19900310  
Last Updated on STN: 19900310  
Entered Medline: 19731130

L4 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2003:938801 CAPLUS  
TITLE: Suppression of gene expression by a cell-permeable Tet repressor  
AUTHOR(S): **Mortlock, Alison**; Low, Walter; Crisanti, Andrea  
CORPORATE SOURCE: Biogeny PLC and Department of Biology and Biochemistry, Imperial College, London, SW7 2AZ, UK  
SOURCE: Nucleic Acids Research (2003), 31(23), e152/1-e152/7  
CODEN: NARHAD; ISSN: 0305-1048  
PUBLISHER: Oxford University Press  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Engineered transcription factors designed to selectively activate or repress endogenous genes have great potential in medical and biotechnol. applications. Ultimately, their success will depend on the development of efficient delivery systems. We show here that a chimeric tetracycline-controlled transcription factor, encompassing the Tet repressor (TetR) from the tetracycline-resistance operon (tet from Escherichia coli transposon Tn10) and a cell membrane transducing peptide, is able to regulate transcription from a tetracycline responsive promoter (pCMV2xtetO2). When added directly to cultured cells, TetR fused to the full-length Antennapedia homeodomain (AntpHD) from Drosophila (TetRAntp), was able to selectively repress transcription in cells transiently transfected with a tetracycline-regulated reporter transcription unit. Moreover, TetRAntp could repress expression of a tetracycline responsive reporter transcription unit stably integrated into the genome of HeLa cells, demonstrating the possibility of manipulating endogenous gene expression by cell-permeable transcription factors.

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2003:826043 CAPLUS  
TITLE: A recombinant H1 histone-based system for efficient delivery of nucleic acids  
AUTHOR(S): Puebla, Iratxe; Esseghir, Selma; **Mortlock, Alison**; Brown, Anthony; Crisanti, Andrea; Low, Walter  
CORPORATE SOURCE: Biogeny PLC, Imperial College London, London, SW7 2AZ, UK  
SOURCE: Journal of Biotechnology (2003), 105(3), 215-226  
CODEN: JBITD4; ISSN: 0168-1656

PUBLISHER: Elsevier Science B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB We describe here a unique transfer system based on a truncated form of the human linker histone H1F4 for the delivery of nucleic acids to a variety of cells. The efficiency of truncated histone H1.4F was assessed using both primary mammalian and immortalised insect and mammalian cell lines. Our results indicated that recombinant histone H1.4F was able to deliver DNA, dsRNA and siRNA in all cells tested. Quant. anal. based on reporter gene expression or silencing of target genes revealed that the transfection efficiency of histone H1.4F was comparable to, or better than, liposome-based systems. Notably, the efficiency of histone H1.4F was associated with very low toxicity for transfected cells. The human H1.4F recombinant protein is easily purified in large-scale from bacterial lysates using inexpensive simplified processing. This versatile transfection system represents an important advance in the field of gene delivery and an improvement over earlier nucleic acid delivery methods.

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:339130 CAPLUS  
DOCUMENT NUMBER: 139:143528  
TITLE: Aurora B couples chromosome alignment with anaphase by targeting BubR1, Mad2, and Cenp-E to kinetochores  
AUTHOR(S): Ditchfield, Claire; Johnson, Victoria L.; Tighe, Anthony; Ellston, Rebecca; Haworth, Carolyn; Johnson, Trevor; **Mortlock, Andrew**; Keen, Nicholas; Taylor, Stephen S.  
CORPORATE SOURCE: School of Biological Sciences, University of Manchester, Manchester, M13 9PT, UK  
SOURCE: Journal of Cell Biology (2003), 161(2), 267-280  
CODEN: JCLBA3; ISSN: 0021-9525  
PUBLISHER: Rockefeller University Press  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The Aurora/Ipl1 family of protein kinases plays multiple roles in mitosis and cytokinesis. Here, we describe ZM447439, a novel selective Aurora kinase inhibitor. Cells treated with ZM447439 progress through interphase, enter mitosis normally, and assemble bipolar spindles. However, chromosome alignment, segregation, and cytokinesis all fail. Despite the presence of maloriented chromosomes, ZM447439-treated cells exit mitosis with normal kinetics, indicating that the spindle checkpoint is compromised. Indeed, ZM447439 prevents mitotic arrest after exposure to paclitaxel. RNA interference expts. suggest that these phenotypes are due to inhibition of Aurora B, not Aurora A or some other kinase. In the absence of Aurora B function, kinetochore localization of the spindle checkpoint components BubR1, Mad2, and Cenp-E is diminished. Furthermore, inhibition of Aurora B kinase activity prevents the rebinding of BubR1 to metaphase kinetochores after a reduction in centromeric tension. Aurora B kinase activity is also required for phosphorylation of BubR1 on entry into mitosis. Finally, we show that BubR1 is not only required for spindle checkpoint function, but is also required for chromosome alignment. Together, these results suggest that by targeting checkpoint proteins to kinetochores, Aurora B couples chromosome alignment with anaphase onset.

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

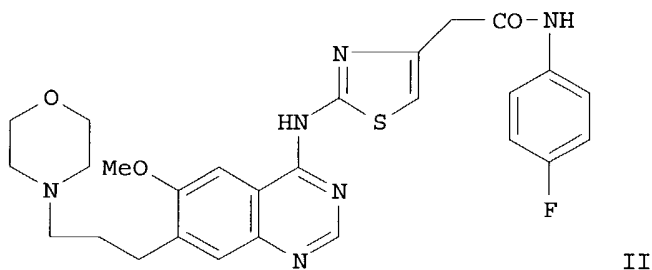
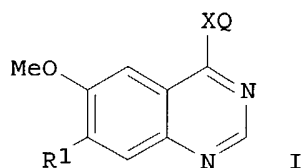
L4 ANSWER 10 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:10468 CAPLUS  
DOCUMENT NUMBER: 136:85826  
TITLE: Preparation of substituted quinazoline derivatives and

10/ 088,814

INVENTOR(S): Mortlock, Andrew; Jung, Frederic  
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.  
SOURCE: PCT Int. Appl., 249 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000649	A1	20020103	WO 2001-SE1450	20010621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1299381	A1	20030409	EP 2001-944061	20010621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011754	A	20030429	BR 2001-11754	20010621
JP 2004501914	T2	20040122	JP 2002-505773	20010621
BG 107376	A	20030930	BG 2002-107376	20021211
NO 2002006010	A	20021213	NO 2002-6010	20021213
US 2003187002	A1	20031002	US 2002-311916	20021216
PRIORITY APPLN. INFO.:			EP 2000-401842	A 20000628
			WO 2001-SE1450	W 20010621
OTHER SOURCE(S):		MARPAT 136:85826		
GI				



AB The title compds. [I; X = O, S, S:O, SO<sub>2</sub>, NR; R = H, C<sub>1</sub>-6alkyl; R<sub>1</sub> = OCH<sub>3</sub>, 3-(4-morpholinyl)propoxy, N-methylpiperidine-4-ylmethoxy,

10/ 088,814

3-(N-methylpiperazine-4-yl)propoxy, 3-(pyrrolidine-1-yl)propoxy, (CH<sub>3</sub>)<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>O, etc.; Q = (un)substituted 5-membered heteroarom.], pharmaceutically acceptable salts, in vivo hydrolysable esters, and amides are prepared as AURORA-2 kinase inhibitors in warm blooded animals. The title compds. together with pharmaceutical compns. containing them are also described and claimed. Thus, the title compound II was prepared and tested in vitro for the ability to arrest MCF7 cells in specific phases of the cell cycle.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:935805 CAPLUS

DOCUMENT NUMBER: 136:49354

TITLE: Gene-regulating conjugate and its therapeutical uses

INVENTOR(S): Crisanti, Andrea; **Mortlock, Alison Mary**

PATENT ASSIGNEE(S): Implex Ltd., UK

SOURCE: PCT Int. Appl., 11 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098515	A2	20011227	WO 2001-GB2707	20010620
WO 2001098515	A3	20021003		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1292695	A2	20030319	EP 2001-940765	20010620
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004500888	T2	20040115	JP 2002-504663	20010620
US 2004037821	A1	20040226	US 2003-311798	20030721
PRIORITY APPLN. INFO.:			GB 2000-15090	A 20000620
			WO 2001-GB2707	W 20010620

AB The invention discloses methods of constructing a protein conjugate for controlling the expression of a specific gene. In particular, the conjugate comprises a DNA-binding domain, a gene-regulating region and a factor that permits translocation of the conjugate across a cell membrane, wherein the DNA-binding domain is heterologous to that naturally associated with the gene-regulating region, and binds to a conserved sequence on the gene for the selective transactivation. The invention also provides methods as well the DNA constructs for preparation of the conjugate. The invention further discloses that the conjugate can be used in gene therapy, in particular, a medicament for endogenous regulation of gene expression.

L4 ANSWER 12 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:139472 CAPLUS

DOCUMENT NUMBER: 126:250887

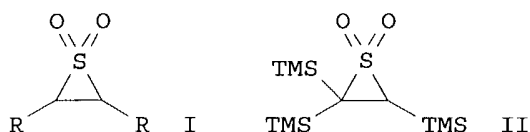
TITLE: Episulfone substitution and ring-opening reactions via  $\alpha$ -sulfonyl carbanion intermediates

AUTHOR(S): Dishington, Allan P.; Douthwaite, Richard E.; **Mortlock, Andrew; Muccioli, Adriano B.;**



10/ 088,814

CORPORATE SOURCE: Simpkins, Nigel C.  
SOURCE: Dep. Chem., Univ. Nottingham, Nottingham, NG7 2RD, UK  
Journal of the Chemical Society, Perkin Transactions  
1: Organic and Bio-Organic Chemistry (1997), (3),  
323-337  
CODEN: JCPRB4; ISSN: 0300-922X  
PUBLISHER: Royal Society of Chemistry  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 126:250887  
GI

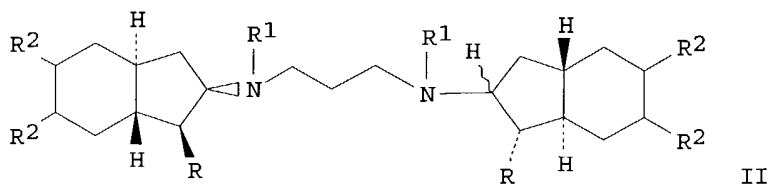
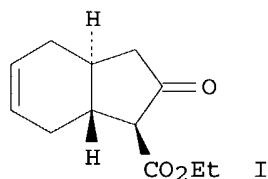


AB Three-membered cyclic sulfones, e.g., I (R = H, Me, Et, Pr), undergo substitution on treatment with base-electrophile mixts., such as LDA-Me<sub>3</sub>SiCl and tert-Bu-P<sub>4</sub> phosphazene base-PhCHO, to give either substituted episulfones or the corresponding alkenes following loss of SO<sub>2</sub>. The structure of a trisilylated episulfone product, II, was determined by x-ray crystallog. In the absence of Me<sub>3</sub>SiCl, reaction of episulfones with lithium diisopropylamide results in ring-opening to give alkenyl sulfinate intermediates, which can be alkylated to give (E)-alkenyl sulfone products in stereoselective fashion.

REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:26682 CAPLUS  
DOCUMENT NUMBER: 124:176597  
TITLE: Total Syntheses of (-)-Papuamine and  
(-)-Haliclونadamine  
AUTHOR(S): McDermott, Todd S.; Mortlock, Andrew;  
Heathcock, Clayton H.  
CORPORATE SOURCE: Department of Chemistry, University of California,  
Berkeley, CA, 94720, USA  
SOURCE: Journal of Organic Chemistry (1996), 61(2), 700-9  
CODEN: JOCEAH; ISSN: 0022-3263  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 124:176597  
GI



AB The pentacyclic marine alkaloids (-)-papuamine and (-)-haliclونadamine were prepared by total synthesis. The synthesis begins with (-)-4-cyclohexene-1,2-dimethanol, which is converted into (1S,2S)-diethyl 4-cyclohexene-1,2-dicarboxylate by way of bis-mesylate, dinitrile, and diacid. Dieckmann cyclization of (1S,2S)-diethyl 4-cyclohexene-1,2-dicarboxylate provides keto ester I, which is transformed into the acetal. After hydrolysis of the acetal, the ketone is subjected to reductive amination with 1,3-propanediamine and sodium triacetoxyborohydride to obtain diamines II (R = CH<sub>2</sub>OCH<sub>2</sub>Ph, R<sub>1</sub> = H, R<sub>2</sub>R<sub>2</sub> = bond) as a 71:29 mixture of diastereomers, favoring the sym. isomer having the papuamine relative configuration. After transformation of the diamines to their t-Boc derivs., the benzyl ethers were cleaved and the resulting diol was oxidized to the dialdehyde. Application of the Seyferth procedure for conversion of aldehydes to alkynes gives a mixture of diynes II (R = C.tplbond.CH, R<sub>1</sub> = Me<sub>3</sub>CO<sub>2</sub>C, R<sub>2</sub> = H). After removal of the t-Boc protecting groups from syn-II (R = C.tplbond.CH, R<sub>1</sub> = Me<sub>3</sub>CO<sub>2</sub>C, R<sub>2</sub> = H), the diamino diyne is treated with tributylstannane and azoisobutyronitrile to obtain the bis-vinylstannane. Treatment of this compound with Pd(II) and Cu(I) in the presence of air produces (-)-papuamine. (-)-Haliclونadamine was obtained from the unsym. II (R = C.tplbond.CH). The NMR spectra of the synthetic alkaloids were identical to those of authentic samples of the natural alkaloids.

L4 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:605144 CAPLUS

DOCUMENT NUMBER: 121:205144

TITLE: First Examples of Episulfone Substitution Reactions via  $\alpha$ -Sulfonyl Carbanion Intermediates

AUTHOR(S): Muccioli, Adriano B.; Simpkins, Nigel S.;

**Mortlock, Andrew**

CORPORATE SOURCE: Department of Chemistry, University of Nottingham, Nottingham, NG7 2RD, UK

SOURCE: Journal of Organic Chemistry (1994), 59(18), 5141-3  
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 121:205144

AB Three-membered cyclic sulfones (episulfones) undergo substitution on treatment with base-electrophile mixts., such as LDA-Me<sub>3</sub>SiCl and tBu-P4-phosphazene base-PhCHO, to give either substituted episulfones or the corresponding alkenes following loss of SO<sub>2</sub>.

L4 ANSWER 15 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

10/ 088,814

ACCESSION NUMBER: 1988:135306 CAPLUS  
DOCUMENT NUMBER: 108:135306  
TITLE: Thermoluminescence dating of coarse-grain quartz from  
the Malan loess at Zhaitang Section, China  
AUTHOR(S): Lu, Yanchou; **Mortlock, A. J.**; Price, D. M.;  
Readhead, M. L.  
CORPORATE SOURCE: Inst. Geol., State Seismol. Bur., Beijing, Peop. Rep.  
China  
SOURCE: Quaternary Research (1987), 28(3), 356-63  
CODEN: QRESAV; ISSN: 0033-5894  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Thermoluminescence (TL) ages were obtained for loess samples taken from  
Zhaitang area near Beijing, China, by using the coarse-grain quartz  
technique. The paleodose values were determined by the method of total sample  
bleaching and regeneration of the TL growth curve. The method is suitable  
for the age determination of loess samples of  $\leq 150,000$  yr old, where the  
annual dose-rate values are of the order 3-4 mGyr/yr. This limit is a  
function of the total accumulated dose. The ages are in good agreement  
with those obtained by a fine-grain TL technique and are consistent with  
geol. and geomagnetostratigraphic evidence.

L4 ANSWER 16 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1984:467768 CAPLUS  
DOCUMENT NUMBER: 101:67768  
TITLE: The effects of farnesol on the late stage nauplius and  
free swimming cypris larvae of *Elminius modestus*  
(Darwin)  
AUTHOR(S): **Mortlock, A. M.**; Fitzsimons, J. T. R.;  
Kerkut, G. A.  
CORPORATE SOURCE: Dep. Physiol. Biochem., Univ. Southampton,  
Southampton, SO9 3TU, UK  
SOURCE: Comparative Biochemistry and Physiology, Part A:  
Molecular & Integrative Physiology (1984), 78A(2),  
345-57  
CODEN: CBPAB5; ISSN: 0300-9629  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The juvenile hormone analog, farnesol [4602-84-0] was tested against  
nauplii and cyprids of *E. modestus*. Farnesol is toxic to the larvae at  
concns. above  $1 + 10^{-5}$  (volume/volume). The nos. of cyprids and adults  
produced and the rate of metamorphosis are affected by the concentration of  
farnesol in seawater, within the range  $5 + 10^{-7}$  -  $1 + 10^{-6}$   
(volume/volume). Abnormal cyprids result from exposure to farnesol. They do  
not metamorphose into attached adults. The degree of abnormality is  
related to the strength of farnesol and length of exposure. The effect of  
farnesol is related to the physiol. age of the larva. Light and electron  
microscope were used to describe and explain the abnormalities at the  
cellular level.

L4 ANSWER 17 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1981:125019 CAPLUS  
DOCUMENT NUMBER: 94:125019  
TITLE: Thermoluminescence dating of sedimentary layers in  
lake and ocean environments  
AUTHOR(S): **Mortlock, A. J.**; Price, D. M.  
CORPORATE SOURCE: Phys. Dep., Aust. Natl. Univ., Australia  
SOURCE: Australian Physicist (1980), 17(11), 190  
CODEN: AUPHBZ; ISSN: 0004-9972  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Relatively standard thermoluminescence (TL) dating techniques are used (for  
sediments) with some modification to include effects such as the TL signal

not completely reset to 0 after long exposure of the sediments to sunlight. Ages determined by TL methods for the Crozet Plateau sediments of the Antarctic Ocean were  $14 \pm 104$  yr; these ages compare favorably with the O-isotope ages of diatoms determined by J. D. Hayes et al. (1976). The TL measurements on lake sediments from Lake George, near Canberra, New South Wales, Australia give  $1.1 \pm 104$  yr which is in nominal agreement with radiocarbon and pollen ages determined by G. Singh, A. P. Kreshaw, and R. Clark (1979). The archaeol. implications of TL dating are also discussed.

L4 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1973:496827 CAPLUS

DOCUMENT NUMBER: 79:96827

TITLE: Determination of dialkyl phthalates in cosmetic preparation by gas-liquid chromatography

AUTHOR(S): Godly, E. W.; **Mortlock, A. E.**

CORPORATE SOURCE: Lab. Gov. Chem., Dep. Trade and Ind., London, UK

SOURCE: Analyst (Cambridge, United Kingdom) (1973), 98(1168), 493-501

CODEN: ANALAO; ISSN: 0003-2654

DOCUMENT TYPE: Journal

LANGUAGE: English

AB An improved gas-liquid chromatog. method is described for the determination of C1-4 dialkyl phthalates in toiletry preps., e.g. hair lotions and after-shave lotion. The column was 8% nonylphenoxypoly(ethyleneneoxy)ethanol on 80-100 mesh acid-washed Chromosorb W. The column temperature was  $200-10^\circ$  for di-Me and di-Et phthalate and  $220^\circ$  for di-Bu phthalate. Little interference was observed from 23 perfume essential oils or 48 perfume synthetic chems.

L4 ANSWER 19 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1973:75984 CAPLUS

DOCUMENT NUMBER: 78:75984

TITLE: Diffusion of strontium(2+) in single crystal magnesium oxide

AUTHOR(S): **Mortlock, A. J.**; Price, D. M.

CORPORATE SOURCE: Phys. Dep., Aust. Natl. Univ., Canberra, Australia

SOURCE: Journal of Chemical Physics (1973), 58(2), 634-6

CODEN: JCPSA6; ISSN: 0021-9606

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Measurements of the diffusion of  $\text{Sr}^{2+}$  at tracer concentration in high-purity single crystal  $\text{MgO}$  was made at  $1000-1600^\circ$ . After applying a graphical correction for the effects of a short-circuiting diffusion component which is also present, the observed diffusion coeffs.,  $D$ , applicable to lattice diffusion could be fitted by the equation  $D = 6.0 \times 10^{-4} \exp -(2.91/kT)$   $\text{cm}^2/\text{sec}$ , where  $T$  is the absolute temperature and  $k$  is Boltzmann's constant in  $\text{eV}/^\circ\text{K}$ . The relation of this result to previously found correlations of the activation energy and frequency factor with the radius of the diffusing ion,  $r$ , is examined  $D$  can be expressed as a rapidly varying function of  $r$  and  $T$  only over a range of  $r$  from  $0.3-1.3 \text{ \AA}$ . This size effect is discussed in relation to that observed in other ionic solids.

L4 ANSWER 20 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1973:75208 CAPLUS

DOCUMENT NUMBER: 78:75208

TITLE: Measurement of lattice diffusion in copper at relatively low temperatures

AUTHOR(S): **Mortlock, A. J.**; Price, D. M.

CORPORATE SOURCE: Dep. Phys., Aust. Natl. Univ., Canberra, Australia

SOURCE: Metallurgical Transactions (1973), 4(1), 363-4

CODEN: MTGTBF; ISSN: 0026-086X

DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Lattice diffusion can be measured directly by serial sectioning in the case of self-diffusion in Cu down to 400°. It is necessary to subtract a diffusion component due to the presence of short-circuiting dislocations. Application of a similar subtraction technique to other cases of near-surface self-diffusion in the noble metals were not nearly as successful.

L4 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1971:92120 CAPLUS

DOCUMENT NUMBER: 74:92120

TITLE: Cation self-diffusion in single crystal magnesium oxide

AUTHOR(S): Harding, B. C.; Price, D. M.; **Mortlock, A. J.**

CORPORATE SOURCE: Phys. Dep., Aust. Natl. Univ., Canberra, Australia

SOURCE: Philosophical Magazine (1971), 23(182), 399-408

CODEN: PHMAA4; ISSN: 0031-8086

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Measurements of the self-diffusion of Mg<sup>2+</sup> in single-crystal MgO of 2 different purities have been made at 1100-1750°. Above .apprx.1300° the results show direct evidence of the operation of both intrinsic and extrinsic diffusion. Below this temperature precipitation of the nonactive impurities present appears to take place. By using the earlier similar but apparently purely intrinsic measurements of Lindner and Parfitt (1957), it is possible to evaluate both the enthalpy of motion for the cation vacancy, H<sub>m</sub>, and the enthalpy of formation of the complete Schottky defect, H<sub>f</sub>. The results obtained are: H<sub>m</sub> = 1.7 ± 0.1 eV; H<sub>f</sub> = 3.4 ± 0.2 eV.

L4 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1971:67986 CAPLUS

DOCUMENT NUMBER: 74:67986

TITLE: Concentration dependence of the tracer diffusion of Sc<sup>3+</sup> in single crystal magnesium oxide

AUTHOR(S): Solaga, T.; **Mortlock, A. J.**

CORPORATE SOURCE: Phys. Dep., Aust. Natl. Univ., Canberra, Australia

SOURCE: Physica Status Solidi A: Applied Research (1970), 3(4), K247-K250

CODEN: PSSABA; ISSN: 0031-8965

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Penetration profiles for the diffusion of <sup>46</sup>Sc in MgO single crystals at 1500° for 50 hr showed that the diffusion coefficient, D, is dependent on surface concentration, C<sub>s</sub>, at C<sub>s</sub> ≥ 50 ppm. These measurements are in the extrinsic region (the intrinsic-extrinsic transition of MgO occurs at .apprx.1830°). In the sample, the Fe impurities are in the Fe<sup>2+</sup> state. These impurities as well as the charge compensation of Sc<sup>3+</sup> introduce vacancies into the sample.

L4 ANSWER 23 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1970:491550 CAPLUS

DOCUMENT NUMBER: 73:91550

TITLE: Negative temperature dependence of the activation energy for impurity diffusion in metals

AUTHOR(S): **Mortlock, Allan J.**

CORPORATE SOURCE: Phys. Dep., Aust. Nat. Univ., Canberra, Australia

SOURCE: Physica Status Solidi A: Applied Research (1970), 2(2), K85-K88

CODEN: PSSABA; ISSN: 0031-8965

DOCUMENT TYPE: Journal

LANGUAGE: English

10/ 088,814

AB A neg. temperature dependence of the activation energy for impurity diffusion in metals is likely to be observed in certain relatively high excess valence impurity expts. where very fine sections and very small diffusion distance values are used. This effect is observed in the case of S diffusing in Cu.

L4 ANSWER 24 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1969:516745 CAPLUS  
DOCUMENT NUMBER: 71:116745  
TITLE: Near-surface diffusion anomaly in metals  
AUTHOR(S): **Mortlock, Allan J.**  
CORPORATE SOURCE: Aust. Nat. Univ., Canberra, Australia  
SOURCE: Journal of the Australian Institute of Metals (1969),  
14(2), 98-101  
CODEN: JAMTAE; ISSN: 0004-9352  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Anomalous characteristics of impurity diffusion have recently been observed within .apprx.1  $\mu\text{m}$ . of the free surface of noble metals. Calcns. indicate that these anomalies may be rationalized at least in part by assuming the operation of a time-dependent potential field near the surface. The potential function necessary to reproduce the results for Ni diffusion into Au appear complex, but a rejective function very close to and including the surface coupled with an attractive function slightly further in the crystal may describe the results. Anomalous diffusion may also be expected to take place close to internal surfaces such as grain boundaries.

L4 ANSWER 25 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1969:442693 CAPLUS  
DOCUMENT NUMBER: 71:42693  
TITLE: Near-surface effect in tracer diffusion. Reply  
AUTHOR(S): **Mortlock, Allan J.**; Lundy, T. S.; Padgett,  
R. A.  
SOURCE: Transactions of the Metallurgical Society of AIME  
(1969), 245(5), 1122  
CODEN: TMSAAB; ISSN: 0543-5722  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB An answer is given to comments made by J. H. Swisher (Ibid. 1121-2) concerning earlier papers. Surface tension forces act away from, as well as parallel to, the surface region. There are time-dependent driving forces present which tend to distribute the impurity atoms in a manner corresponding to a spacially uniform chemical potential. The fact that the Fe-S and the Fe-N systems show no anomaly may be a result of the method of experiment employed. An explanation based on low vacancy concns. in near-surface region is not valid. A literature reference citing surface roughness does not apply because of the previous thermal history of the specimens. Adequate evidence was presented to eliminate both a changing vacancy concentration or surface roughness.

L4 ANSWER 26 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1969:109342 CAPLUS  
DOCUMENT NUMBER: 70:109342  
TITLE: Divalent cation impurity diffusion in magnesium oxide  
AUTHOR(S): **Mortlock, Allan J.**  
CORPORATE SOURCE: Aust. Nat. Univ., Canberra, Australia  
SOURCE: Nat. Bur. Stand. (US), Spec. Publ. (1968), Volume Date  
1967, No. 296, 85-7 Avail.: GPO, 3 dollars  
CODEN: XNBSAV  
DOCUMENT TYPE: Report  
LANGUAGE: English

AB For the diffusion of  $\text{Ni}^{2+}$ ,  $\text{Co}^{2+}$ ,  $\text{Fe}^{2+}$ ,  $\text{Zn}^{2+}$ ,  $\text{Ca}^{2+}$ ,  $\text{Be}^{2+}$ , activation energies  $Q$  lie in the range 1.6 to 2.1 ev. and pre-exponential factors  $D_0$

are about  $10^{-5}$  cm.<sup>2</sup>/sec. The data for Mg<sup>2+</sup> and Ba<sup>2+</sup> at small penetrations ( $\sim 20 \mu$ ) are, resp., 3.4 ev. and  $10^{-1}$  cm.<sup>2</sup>/sec. As stated by Lidiard, the diffusion of Ba<sup>2+</sup> should be in the extrinsic region. The large  $D_0$  factor for Ba<sup>2+</sup> is due to its large radius  $r = 1.35$  A. All other results conform better to the equation  $Q = H_m = 1.34 + (1.05 + 1016) r^2$  ev., where  $H_m$  is the movement energy for cation diffusion rather than the Mullen equation. Thus,  $H_m$  shows a consistent dependence on  $r^2$  and hence on the elastic strain energy at the saddle point. The 2-component nature of Ba<sup>2+</sup> penetration profiles is attributed to extrinsic diffusion in the small penetration region, superposition of extrinsic and dislocation diffusion in deeper regions, and the enhanced effect of a smaller  $d$ . of dislocations resulting from the large Ba<sup>2+</sup> ion.

L4 ANSWER 27 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1968:480427 CAPLUS  
DOCUMENT NUMBER: 69:80427  
TITLE: Near-surface diffusion anomaly in gold  
AUTHOR(S): Mortlock, A. J.  
CORPORATE SOURCE: Metals and Ceram. Div., Oak Ridge Nat. Lab., Oak Ridge, TN, USA  
SOURCE: Transactions of the Metallurgical Society of AIME (1968), 243(9), 1963-7  
CODEN: TMSAAB; ISSN: 0543-5722  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Co and Ni were diffused at tracer concns. in Au at several temps. from approx. 700 to 950°. The diffusion penetration profiles were determined by a serial sectioning technique in which the Au is first anodized and then the anodic layer is dissolved in acid. Thus, sections as thin as 250A. could be removed reproducibly. The region close to the specimen surface was characterized by irregular behavior in the sense that the logarithm of concentration was not linear in the sq. of the penetration distance. In some cases, there was an indication of the operation of a very slow diffusion in this region, while in others the apparent diffusion coefficient was neg. 14 references.

L4 ANSWER 28 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1967:405917 CAPLUS  
DOCUMENT NUMBER: 67:5917  
TITLE: Anisotropic diffusion of nickel in zinc studied by an autoradiographic method  
AUTHOR(S): Mortlock, Allan J.; Ewens, P. M.  
CORPORATE SOURCE: Australian Natl. Univ., Canberra, Australia  
SOURCE: Physical Review (1967), 156(3), 814-16  
CODEN: PHRVAO; ISSN: 0031-899X  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The diffusion of Ni at very low concentration in single crystals of Zn was measured from approx. 290 to 390°. An autoradiographic method was employed which allowed the simultaneous determination of diffusion coeffs. parallel to the c and a axes in the same crystal. The temperature dependence of these diffusion coeffs.  $D_c$  and  $D_a$ , resp. is:  $D_c = (8.1 + 32 - 6.5) \exp[-(1.415 \pm 0.086 \text{ ev.})/kT]$  cm.<sup>2</sup>/sec.,  $D_a = (0.43 + 0.43 - 0.21) \exp[-(1.258 \pm 0.037 \text{ ev.})/kT]$  cm.<sup>2</sup>/sec., where  $T$  is the absolute temperature and  $k$  is Boltzmann's constant. The anisotropy of the observed diffusion is smaller than expected on the basis of a vacancy mechanism. This result is similar to that already found for Cu diffusing in Zn and may be due to the small size of these atoms relative to Zn.

L4 ANSWER 29 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1967:5889 CAPLUS  
DOCUMENT NUMBER: 66:5889  
TITLE: Diffusion of beryllium in magnesium oxide

10/ 088,814

AUTHOR(S): Harding, B. C.; **Mortlock, Allan J.**  
CORPORATE SOURCE: Australian Natl. Univ., Canberra, Australia  
SOURCE: Journal of Chemical Physics (1966), 45(7), 2699-2700  
CODEN: JCPSA6; ISSN: 0021-9606

DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Diffusion coeffs. (D) of Be in MgO were measured using <sup>7</sup>Be tracer at 1000-1700°. The values fit the expression,  $D = (1.41 + 0.50 - 0.36) + 10^{-5} + \exp[-(1.60 \pm 0.04)/kT]$  cm.<sup>2</sup>/sec., where k is Boltzmann's constant in ev./°K. The results indicated that if Be diffused as Be<sup>2+</sup>, then the mechanism of diffusion was different from that for the divalent ions of Mg, Ca, Ni, Co, and Fe. Alternatively, Be might diffuse in a lower state of ionization than Be<sup>2+</sup>.

L4 ANSWER 30 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1966:486183 CAPLUS

DOCUMENT NUMBER: 65:86183

ORIGINAL REFERENCE NO.: 65:16150h,16151a

TITLE: The diffusion of calcium in magnesium oxide

AUTHOR(S): Rungis, J.; **Mortlock, A. J.**

CORPORATE SOURCE: Australian Natl. Univ., Canberra

SOURCE: Philosophical Magazine (1798-1977) (1966), 14(130), 821-7

CODEN: PHMAA4; ISSN: 0031-8086

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The diffusion of Ca<sup>2+</sup> at tracer concns. in 99.99% pure single-crystal MgO has been measured over the range 900-1700°. The diffusion coefficient, D, could be expressed in the form:  $D = (2.95 + 2.6 - 1.5 + 10^{-5} \exp[-(2.13 \pm 0.1)/kT])$  cm.<sup>2</sup>/sec., where k is Boltzmann's constant in ev./°K. and T is the absolute temperature. The observed activation energy can be correlated with the corresponding data for other divalent ions diffusing in Mg through the equation:  $Q = k_1(r/\alpha) + k_2$ , where r is the ionic radius in cm.;  $\alpha$  is the ionic electronic polarizability in cc., and k<sub>1</sub> and k<sub>2</sub> are equal to 0.37 + 10<sup>-16</sup> ev. cm.<sup>2</sup> and 1.20 ev., resp.

L4 ANSWER 31 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1966:25696 CAPLUS

DOCUMENT NUMBER: 64:25696

ORIGINAL REFERENCE NO.: 64:4696h,4697a

TITLE: Simplified experiment demonstrating interstitial diffusion in  $\alpha$ -iron

AUTHOR(S): **Mortlock, A. J.**

CORPORATE SOURCE: Australian Natl. Univ., Canberra

SOURCE: American Journal of Physics (1965), 33(12), 1033-6

CODEN: AJPIAS; ISSN: 0002-9505

DOCUMENT TYPE: Journal

LANGUAGE: English

AB An experiment is described which demonstrates the diffusion of interstitial impurities in  $\alpha$ -iron. It consists in the measurement of the logarithmic decrement of the oscillatory motion of a torsional pendulum utilizing a com. available iron suspension wire of high purity. From the results obtained over a conveniently small temperature range, the activation energy for diffusion of the predominant impurity, N, can be found. This energy agrees favorably with earlier detns. made over a much wider temperature range by using iron wire and specially introduced impurities.

L4 ANSWER 32 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1965:415469 CAPLUS

DOCUMENT NUMBER: 63:15469

ORIGINAL REFERENCE NO.: 63:2706d-e

TITLE: Atomic diffusion of mercury in gold



10/ 088,814

AUTHOR(S): **Mortlock, A. J.; Rowe, A. H.**  
CORPORATE SOURCE: At. Energy Res. Estab., Harwell, UK  
SOURCE: Philosophical Magazine (1798-1977) (1965), 11(114),  
1157-64  
CODEN: PHMAA4; ISSN: 0031-8086

DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The diffusion of Hg at very low concentration in single-crystal Au was measured over the range 500° to approx. 1000° by using a sectioning technique. Above 600° the temperature dependence of the diffusion coefficient followed the equation:  $D = (0.116 + 0.13 - 0.06) \exp[-(37,380 \pm 1600)/RT]$  cm.<sup>2</sup>/sec. The results obtained are discussed in relation to current theories of impurity diffusion in metals.

L4 ANSWER 33 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1964:89162 CAPLUS

DOCUMENT NUMBER: 60:89162

ORIGINAL REFERENCE NO.: 60:15550f

TITLE: Anomalous volume diffusion in the surface layers of metals

AUTHOR(S): **Mortlock, A. J.**  
CORPORATE SOURCE: Australian Natl. Univ., Canberra  
SOURCE: Acta Met. (1964), 12(5), 675-7  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Diffusion data in Ag and in Al are compared. Further expts. should be carried out in Ag and Al in which the penetration profiles in the surface zone and the bulk of the specimens are determined in detail simultaneously in the same specimen.

L4 ANSWER 34 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1962:66024 CAPLUS

DOCUMENT NUMBER: 56:66024

ORIGINAL REFERENCE NO.: 56:12634i,12635a

TITLE: Atomic diffusion of platinum in gold

AUTHOR(S): **Mortlock, A. J.; Rowe, A. H.; LeClaire, A. D.**

CORPORATE SOURCE: At. Energy Research Estab., Harwell, UK  
SOURCE: Philosophical Magazine (1798-1977) (1960), 5, 803-14  
CODEN: PHMAA4; ISSN: 0031-8086

DOCUMENT TYPE: Journal  
LANGUAGE: Unavailable

AB The diffusion of radioactive Pt at tracer concentration in Au was determined at 800-1055°. The results at >900° fit the equation  $D = 7.6 \exp[-(60,900 \pm 1200)/RT]$  sq. cm./sec. (D = diffusion coefficient). The activation energy was much higher than for self-diffusion in Au. At <900°, D was higher than calculated; this could be caused by short-circuiting diffusion of segregated Pt along dislocations.

L4 ANSWER 35 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1960:66194 CAPLUS

DOCUMENT NUMBER: 54:66194

ORIGINAL REFERENCE NO.: 54:12707f-g

TITLE: The atomic diffusion of chromium in the titanium-chromium system

AUTHOR(S): **Mortlock, A. J.; Tomlin, D. H.**  
SOURCE: Philosophical Magazine (1798-1977) (1959), 4, 628-43  
CODEN: PHMAA4; ISSN: 0031-8086

DOCUMENT TYPE: Journal  
LANGUAGE: Unavailable

AB Diffusion rates of Cr in the body-centered cubic phase of the Ti-Cr system were measured by an autoradiographic tracer technique using the isotope Cr51. The activation energy for diffusion at zero solute concentration is very

much lower than that expected.

L4 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1959:98250 CAPLUS  
 DOCUMENT NUMBER: 53:98250  
 ORIGINAL REFERENCE NO.: 53:17679f-g  
 TITLE: Transfer of material from radioactive point contacts on germanium  
 AUTHOR(S): Haneman, D.; **Mortlock, A. J.**  
 CORPORATE SOURCE: Univ. Reading, UK  
 SOURCE: Semiconductors and Phosphors, Proc. Intern. Colloq. Garmisch-Partenkirchen (1958), Volume Date 1956 576  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable

AB In the course of expts. on point contact transistor forming using radioactive Sb collector points, appreciable quantities of Sb were transferred to the Ge surface simply from low pressure contact of the Sb point.

L4 ANSWER 37 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1959:20703 CAPLUS  
 DOCUMENT NUMBER: 53:20703  
 ORIGINAL REFERENCE NO.: 53:3793a-c  
 TITLE: Error in temperature measurement due to the inter-diffusion at the hot junction of a thermocouple  
 AUTHOR(S): **Mortlock, A. J.**  
 CORPORATE SOURCE: At. Energy Research Estab., Harwell, UK  
 SOURCE: Journal of Scientific Instruments (1958), 35, 283-4  
 CODEN: JSINAY; ISSN: 0368-4253  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable

AB A Pt/Pt-13% Rh thermocouple in a gradient of 10°/cm. may be in error to the extent of about 1.3° at all temps. within the normal operating range, following a heat-treatment equivalent to 100 days at 1500°. This is true only if the thermocouple is used in the conventional way with its arms parallel. If the same thermocouple were operated with its arms arranged in an antiparallel fashion, the error would be less.

L4 ANSWER 38 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1957:96610 CAPLUS  
 DOCUMENT NUMBER: 51:96610  
 ORIGINAL REFERENCE NO.: 51:17410e-f  
 TITLE: Point-contact-transistor studies with radioactive collectors  
 AUTHOR(S): Haneman, D.; **Mortlock, A. J.**  
 CORPORATE SOURCE: Univ. Reading, UK  
 SOURCE: Proc. Phys. Soc. (London) (1957), 70B, 145-7  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable

AB The number of atoms transferred to a Ge base while forming an Sb collector to produce enhanced current gain in a point-contact transistor is measured. Pile-activated Sb was used, the transferred activity being measured with a counter.

L4 ANSWER 39 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1956:88714 CAPLUS  
 DOCUMENT NUMBER: 50:88714  
 ORIGINAL REFERENCE NO.: 50:16625g-h  
 TITLE: A comparison of three radioactive tracer methods for studying the diffusion of chromium in titanium  
 AUTHOR(S): **Mortlock, A. J.**; Tomlin, D. H.  
 CORPORATE SOURCE: Univ. Reading, UK

10/ 088,814

SOURCE: Proceedings of the Physical Society, London (1956),  
69B, 250-2  
CODEN: PPSOAU; ISSN: 0370-1328  
DOCUMENT TYPE: Journal  
LANGUAGE: Unavailable  
AB The methods compared are autoradiographic, counting dissolved layers, and  
counting transverse surfaces. It is estimated that the exptl. error in the  
values of the diffusion coefficient determined from each of the 3 methods is between  
5% and 10%; the values agree satisfactory.

L4 ANSWER 40 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1956:86247 CAPLUS  
DOCUMENT NUMBER: 50:86247  
ORIGINAL REFERENCE NO.: 50:16243d-e  
TITLE: The diffusion of chromium in titanium studied by an  
autoradiographic method  
AUTHOR(S): Mortlock, A. J.; Tomlin, D. H.  
CORPORATE SOURCE: Univ. Reading, UK  
SOURCE: Proceedings of the Physical Society, London (1956),  
69B, 248-50  
CODEN: PPSOAU; ISSN: 0370-1328  
DOCUMENT TYPE: Journal  
LANGUAGE: Unavailable  
AB The method allows several diffusion expts. to be carried out on a single  
diffusion sandwich. The sandwiches were formed by evaporating Cr containing the  
pile-produced radioactive isotope Cr51 onto one finely ground end face of  
each of 2 small cylindrical specimens of Ti.

L4 ANSWER 41 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1954:20751 CAPLUS  
DOCUMENT NUMBER: 48:20751  
ORIGINAL REFERENCE NO.: 48:3746f-h  
TITLE: The effect of tension on the thermoelectric properties  
of metals  
AUTHOR(S): Mortlock, A. J.  
CORPORATE SOURCE: Commonwealth Sci. Ind. Research Organization, Sydney  
SOURCE: Australian Journal of Physics (1953), 6, 410-19  
CODEN: AUJPAS; ISSN: 0004-9506  
DOCUMENT TYPE: Journal  
LANGUAGE: Unavailable  
AB The change in thermoelec. power accompanying elastic tensile strain was  
measured by Crussard's method (C.A. 43, 2912f) from 20 to 400° on  
annealed specimens of Cu, Ag, Au, Pt, Pd, Ni, Al, Ti, Mo, Fe, and W, all  
of known purity. This change seems to depend on purity. Although the  
thermal e.m.f. is not linearly related to either the stress or the temperature  
over the full range of the measurements, for small stresses (100 kg./sq.  
cm.) and temperature differences (100°) it is approx. linearly related to  
both and the tension coeffs. of thermal e.m.f. are evaluated (except for  
Al) to within about 10%. The results for Cu, Ag, Au, Pt, and Pd are  
combined with those of Wagner (C.A. 3, 1719) on the effect of hydrostatic  
pressure to evaluate coeffs. that describe the change in thermoelec. power  
of isotropic metals under all types of elastic strain. Using Smit's  
theory (C.A. 47, 4680b) the new result for Au makes it probable that the  
Fermi surfaces of Cu, Ag, and Au touch the zone boundary.

=> d his

(FILE 'HOME' ENTERED AT 13:47:47 ON 07 APR 2004)

FILE 'MEDLINE, CAPLUS' ENTERED AT 13:49:01 ON 07 APR 2004

L1 0 S MORTLOCK/IN  
L2 0 S MORTLOCK/INV

10/ 088,814

L3 E MORT  
0 S MORTLOCK/AU  
E MORT/AU  
E MORTLOCK/AU  
L4 41 S E4-E12

=> s l4 and aurora

L5 3 L4 AND AURORA

=> d l5 1- ibib abs

YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):y

L5 ANSWER 1 OF 3 MEDLINE on STN

ACCESSION NUMBER: 2003199692 MEDLINE

DOCUMENT NUMBER: PubMed ID: 12719470

TITLE: **Aurora B** couples chromosome alignment with anaphase by targeting BubR1, Mad2, and Cenp-E to kinetochores.

AUTHOR: Ditchfield Claire; Johnson Victoria L; Tighe Anthony; Ellston Rebecca; Haworth Carolyn; Johnson Trevor; **Mortlock Andrew**; Keen Nicholas; Taylor Stephen S

CORPORATE SOURCE: School of Biological Sciences, University of Manchester, 2.205 Stopford Building, Oxford Rd., Manchester M13 9PT, UK.

SOURCE: Journal of cell biology, (2003 Apr 28) 161 (2) 267-80.  
Journal code: 0375356. ISSN: 0021-9525.

PUB. COUNTRY: United States

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 200306

ENTRY DATE: Entered STN: 20030430

Last Updated on STN: 20030620

Entered Medline: 20030619

AB The **Aurora**/Ipl1 family of protein kinases plays multiple roles in mitosis and cytokinesis. Here, we describe ZM447439, a novel selective **Aurora** kinase inhibitor. Cells treated with ZM447439 progress through interphase, enter mitosis normally, and assemble bipolar spindles. However, chromosome alignment, segregation, and cytokinesis all fail. Despite the presence of maloriented chromosomes, ZM447439-treated cells exit mitosis with normal kinetics, indicating that the spindle checkpoint is compromised. Indeed, ZM447439 prevents mitotic arrest after exposure to paclitaxel. RNA interference experiments suggest that these phenotypes are due to inhibition of **Aurora B**, not **Aurora A** or some other kinase. In the absence of **Aurora B** function, kinetochore localization of the spindle checkpoint components BubR1, Mad2, and Cenp-E is diminished. Furthermore, inhibition of **Aurora B** kinase activity prevents the rebinding of BubR1 to metaphase kinetochores after a reduction in centromeric tension. **Aurora B** kinase activity is also required for phosphorylation of BubR1 on entry into mitosis. Finally, we show that BubR1 is not only required for spindle checkpoint function, but is also required for chromosome alignment. Together, these results suggest that by targeting checkpoint proteins to kinetochores, **Aurora B** couples chromosome alignment with anaphase onset.

L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:339130 CAPLUS

DOCUMENT NUMBER: 139:143528

TITLE: **Aurora B** couples chromosome alignment with anaphase by targeting BubR1, Mad2, and Cenp-E to kinetochores

AUTHOR(S): Ditchfield, Claire; Johnson, Victoria L.; Tighe,

Anthony; Ellston, Rebecca; Haworth, Carolyn; Johnson, Trevor; **Mortlock, Andrew**; Keen, Nicholas; Taylor, Stephen S.

CORPORATE SOURCE: School of Biological Sciences, University of Manchester, Manchester, M13 9PT, UK

SOURCE: Journal of Cell Biology (2003), 161(2), 267-280  
CODEN: JCLBA3; ISSN: 0021-9525

PUBLISHER: Rockefeller University Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The **Aurora**/Ipl1 family of protein kinases plays multiple roles in mitosis and cytokinesis. Here, we describe ZM447439, a novel selective **Aurora** kinase inhibitor. Cells treated with ZM447439 progress through interphase, enter mitosis normally, and assemble bipolar spindles. However, chromosome alignment, segregation, and cytokinesis all fail. Despite the presence of maloriented chromosomes, ZM447439-treated cells exit mitosis with normal kinetics, indicating that the spindle checkpoint is compromised. Indeed, ZM447439 prevents mitotic arrest after exposure to paclitaxel. RNA interference expts. suggest that these phenotypes are due to inhibition of **Aurora** B, not **Aurora** A or some other kinase. In the absence of **Aurora** B function, kinetochore localization of the spindle checkpoint components BubR1, Mad2, and Cenp-E is diminished. Furthermore, inhibition of **Aurora** B kinase activity prevents the rebinding of BubR1 to metaphase kinetochores after a reduction in centromeric tension. **Aurora** B kinase activity is also required for phosphorylation of BubR1 on entry into mitosis. Finally, we show that BubR1 is not only required for spindle checkpoint function, but is also required for chromosome alignment. Together, these results suggest that by targeting checkpoint proteins to kinetochores, **Aurora** B couples chromosome alignment with anaphase onset.

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:10468 CAPLUS

DOCUMENT NUMBER: 136:85826

TITLE: Preparation of substituted quinazoline derivatives and their use as inhibitors of **AURORA**-2 kinase

INVENTOR(S): **Mortlock, Andrew**; Jung, Frederic

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 249 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

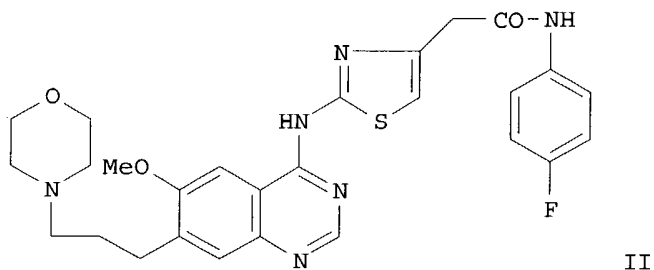
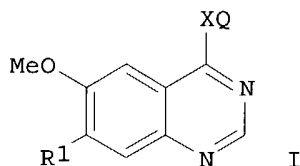
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000649	A1	20020103	WO 2001-SE1450	20010621
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1299381	A1	20030409	EP 2001-944061	20010621
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2001011754	A	20030429	BR 2001-11754	20010621

10/ 088,814

JP 2004501914	T2	20040122	JP 2002-505773	20010621
BG 107376	A	20030930	BG 2002-107376	20021211
NO 2002006010	A	20021213	NO 2002-6010	20021213
US 2003187002	A1	20031002	US 2002-311916	20021216

PRIORITY APPLN. INFO.: EP 2000-401842 A 20000628  
WO 2001-SE1450 W 20010621

OTHER SOURCE(S): MARPAT 136:85826  
GI



AB The title compds. [I; X = O, S, S:O, SO<sub>2</sub>, NR; R = H, C<sub>1</sub>-6alkyl; R<sub>1</sub> = OCH<sub>3</sub>, 3-(4-morpholinyl)propoxy, N-methylpiperidine-4-ylmethoxy, 3-(N-methylpiperazine-4-yl)propoxy, 3-(pyrrolidine-1-yl)propoxy, (CH<sub>3</sub>)<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>O, etc.; Q = (un)substituted 5-membered heteroarom.], pharmaceutically acceptable salts, in vivo hydrolysable esters, and amides are prepared as **AURORA-2** kinase inhibitors in warm blooded animals. The title compds. together with pharmaceutical compns. containing them are also described and claimed. Thus, the title compound II was prepared and tested in vitro for the ability to arrest MCF7 cells in specific phases of the cell cycle.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 13:47:47 ON 07 APR 2004)

FILE 'MEDLINE, CAPLUS' ENTERED AT 13:49:01 ON 07 APR 2004

L1	0 S MORTLOCK/IN
L2	0 S MORTLOCK/INV
	E MORT
L3	0 S MORTLOCK/AU
	E MORT/AU
	E MORTLOCK/AU
L4	41 S E4-E12
L5	3 S L4 AND AURORA

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

10/ 088,814

	ENTRY	SESSION
FULL ESTIMATED COST	120.97	121.39
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-25.64	-25.64

STN INTERNATIONAL LOGOFF AT 13:54:18 ON 07 APR 2004